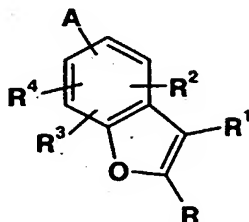


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WE CLAIM:

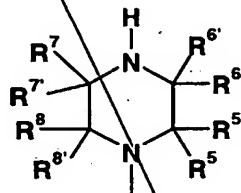


1. The compounds of Formula I:

I

where:

A is homopiperazine or a piperazine of formula:



(i)

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, -C(O)NHR⁹, or C₁-C₆ alkyl substituted with a substituent selected from the group consisting of halo, C₁-C₄ alkoxy and hydroxy.

R⁵, R⁶, R⁷, and R⁸ are independently hydrogen, C₁-C₆ alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

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Sub
A²

5 R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen; or R⁵ and R^{5'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen; or R⁶ and R^{6'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

10 R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen; or R⁷ and R^{7'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

15 R^{8'} is hydrogen or methyl, provided that R^{8'} may be methyl only when R⁸ is other than hydrogen; or R⁸ and R^{8'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

20 R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

25 a) when R², R³, and R⁴ are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C₁-C₄ alkoxy, or C₁-C₄ alkyl, neither R⁶ nor R⁷ may be selected from the group consisting of hydrogen and C₁-C₆ alkyl unless:

1. R is halo;
2. R¹ is halo or phenyl
3. R^{6'} or R^{7'} is methyl; or
- 30 4. R⁵ or R⁸ are other than hydrogen;

b) when R, R¹, and two of R², R³, and R⁴ are hydrogen and one of R², R³, or R⁴ is selected from the group

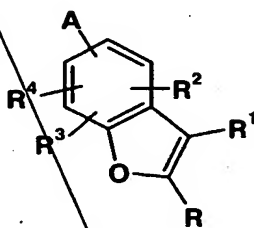
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consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R⁵, R⁶, R⁷, or R⁸ must be other than hydrogen;

c) when R¹ is bromo or R is methyl, at least one of R², R³, and R⁴ must be other than hydrogen; and

d) no more than two of R⁵, R⁶, R⁷, and R⁸ may be other than hydrogen.

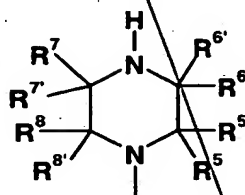
2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of Formula I:



I

where:

A is homopiperazine or a piperazine of formula:



(i)

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano,

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C₁-C₄ alkoxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, -C(O)NHR⁹, or C₁-C₆ alkyl substituted with a substituent selected from the group consisting of halo, C₁-C₄ alkoxy and hydroxy.

5 R⁵, R⁶, R⁷, and R⁸ are independently hydrogen, C₁-C₆ alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

10 R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen; or R⁵ and R^{5'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen; or R⁶ and R^{6'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

15 R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen; or R⁷ and R^{7'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

20 R^{8'} is hydrogen or methyl, provided that R^{8'} may be methyl only when R⁸ is other than hydrogen; or R⁸ and R^{8'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

25 R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

30 a) when R², R³, and R⁴ are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C₁-C₄ alkoxy, or C₁-C₄ alkyl, neither R⁶ nor R⁷ may be selected from the group consisting of hydrogen and C₁-C₆ alkyl unless:

1. R is halo;

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2. R¹ is halo or phenyl

3. R^{6'} or R^{7'} is methyl; or

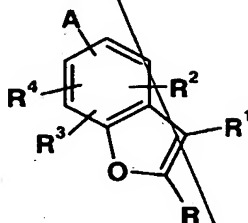
4. R⁵ or R⁸ are other than hydrogen;

b) when R, R¹, and two of R², R³, and R⁴ are hydrogen and one of R², R³, or R⁴ is selected from the group consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R⁵, R⁶, R⁷, or R⁸ must be other than hydrogen;

c) when R¹ is bromo or R is methyl, at least one of R², R³, and R⁴ must be other than hydrogen; and

d) no more than two of R⁵, R⁶, R⁷, and R⁸ may be other than hydrogen.

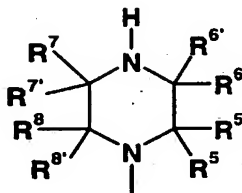
3. A method for increasing activation of the 5-HT_{2C} receptor in mammals, comprising administering to a mammal in need of such activation a pharmaceutically effective amount of a compound of Formula I:



I

20 where:

A is homopiperazine or a piperazine of formula:



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(i)

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

5 R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxy carbonyl, C₁-C₆ alkyl, -C(O)NHR⁹,
10 or C₁-C₆ alkyl substituted with a substituent selected from the group consisting of halo, C₁-C₄ alkoxy and hydroxy.

R⁵, R⁶, R⁷, and R⁸ are independently hydrogen, C₁-C₆ alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

15 R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen; or R⁵ and R^{5'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R^{6'} is hydrogen or methyl, provided that R^{6'} may be
20 methyl only when R⁶ is other than hydrogen; or R⁶ and R^{6'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R^{7'} is hydrogen or methyl, provided that R^{7'} may be
25 methyl only when R⁷ is other than hydrogen; or R⁷ and R^{7'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R^{8'} is hydrogen or methyl, provided that R^{8'} may be
30 methyl only when R⁸ is other than hydrogen; or R⁸ and R^{8'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

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or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

5 a) when R², R³, and R⁴ are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C₁-C₄ alkoxy, or C₁-C₄ alkyl, neither R⁶ nor R⁷ may be selected from the group consisting of hydrogen and C₁-C₆ alkyl unless:

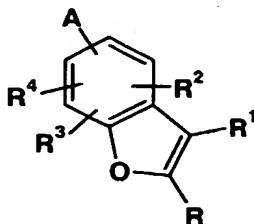
- 10 1. R is halo;
2. R¹ is halo or phenyl
3. R^{6'} or R^{7'} is methyl; or
4. R⁵ or R⁸ are other than hydrogen;

15 b) when R, R¹, and two of R², R³, and R⁴ are hydrogen and one of R², R³, or R⁴ is selected from the group consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R⁵, R⁶, R⁷, or R⁸ must be other than hydrogen;

c) when R¹ is bromo or R is methyl, at least one of R², R³, and R⁴ must be other than hydrogen; and

20 d) no more than two of R⁵, R⁶, R⁷, and R⁸ may be other than hydrogen.

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:

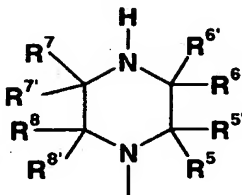


I

where:

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A is homopiperazine or a piperazine of formula:



(i)

5 where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

10 R², R³, and R⁴ are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethy-1-yl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxy carbonyl, C₁-C₆ alkyl, -C(O)NHR⁹, or C₁-C₆ alkyl substituted with a substituent selected from the group consisting of halo, C₁-C₄ alkoxy and hydroxy.

15 R⁵, R⁶, R⁷, and R⁸ are independently hydrogen, C₁-C₆ alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

20 R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen; or R⁵ and R^{5'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen; or R⁶ and R^{6'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

25 R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen; or R⁷ and R^{7'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

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R^{8'} is hydrogen or methyl, provided that R^{8'} may be methyl only when R⁸ is other than hydrogen; or R⁸ and R^{8'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

5 R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

10 a) when R², R³, and R⁴ are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C₁-C₄ alkoxy, or C₁-C₄ alkyl, neither R⁶ nor R⁷ may be selected from the group consisting of hydrogen and C₁-C₆ alkyl unless:

- 15
1. R is halo;
 2. R¹ is halo or phenyl
 3. R^{6'} or R^{7'} is methyl; or
 4. R⁵ or R⁸ are other than hydrogen;

20 b) when R, R¹, and two of R², R³, and R⁴ are hydrogen and one of R², R³, or R⁴ is selected from the group consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R⁵, R⁶, R⁷, or R⁸ must be other than hydrogen;

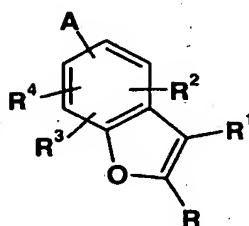
c) when R¹ is bromo or R is methyl, at least one of R², R³, and R⁴ must be other than hydrogen; and

25 d) no more than two of R⁵, R⁶, R⁷, and R⁸ may be other than hydrogen.

5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of

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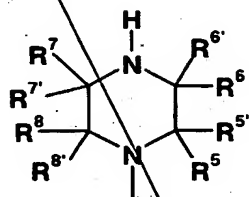
such treatment an effective amount of a compound of
Formula I:



I

where:

A is homopiperazine or a piperazine of formula:



(i)

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, -C(O)NHR⁹, or C₁-C₆ alkyl substituted with a substituent selected from the group consisting of halo, C₁-C₄ alkoxy and hydroxy.

R⁵, R⁶, R⁷, and R⁸ are independently hydrogen, C₁-C₆ alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

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R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen; or R⁵ and R^{5'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

5 R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen; or R⁶ and R^{6'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

10 R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen; or R⁷ and R^{7'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

15 R^{8'} is hydrogen or methyl, provided that R^{8'} may be methyl only when R⁸ is other than hydrogen; or R⁸ and R^{8'}, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

20 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when R², R³, and R⁴ are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C₁-C₄ alkoxy, or C₁-C₄ alkyl, neither R⁶ nor R⁷ may be selected from the group consisting of hydrogen and C₁-C₆ alkyl unless:

1. R is halo;
2. R¹ is halo or phenyl
3. R^{6'} or R^{7'} is methyl; or
- 30 4. R⁵ or R⁸ are other than hydrogen;

b) when R, R¹, and two of R², R³, and R⁴ are hydrogen and one of R², R³, or R⁴ is selected from the group

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consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R⁵, R⁶, R⁷, or R⁸ must be other than hydrogen;

c) when R¹ is bromo or R is methyl, at least one of R², R³, and R⁴ must be other than hydrogen; and

5 d) no more than two of R^5 , R^6 , R^7 , and R^8 may be other than hydrogen.

6. A method of any of Claims 3, 4, or 5 where the mammal is human.

[illegible]